

REMARKS

The specification is amended above to insert a reference to related cases and to correct typographical errors.

No amendment of inventorship is necessitated by these amendments.

Early allowance of the claims is requested. Should the Examiner believe that a conference with applicants' attorney would advance prosecution of this application, he is respectfully invited to call applicants' attorney.

Respectfully submitted,



Mark Chao, Ph.D., Reg. No. 37,293
Elaine M. Ramesh, Ph.D., Reg. No. 43032
Attorney for Applicants
Customer No. 23115

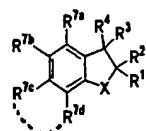
Dated: December 20, 2005

(847)383-3372
(847)383-3391

Takeda Pharmaceuticals North America, Inc.
Intellectual Property Department
475 Half Day Road
Lincolnshire, IL 60069 USA

MARK-UP

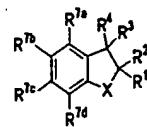
[Table 2]



Example	X	R ¹	R ²	R ³	R ⁴	R ^{7a}	R ^{7b}	R ^{7c}	R ^{7d}	comment
53	O	H	H	4-iPr-Ph	H	Me	MeSO ₂ NH	Me	Me	
54	O	H	H	4-iPr-Ph	H	Me	nBuSO ₂ NH	Me	Me	
55	O	H	H	4-iPr-Ph	H	Me	CF ₃ (CH ₂) ₃ SO ₂ NH	Me	Me	
56	O	H	H	4-iPr-Ph	H	Me	EtSO ₂ NH	Me	Me	
57	O	H	H	4-iPr-Ph	H	Me	nPrSO ₂ NH	Me	Me	
58	O	H	H	4-iPr-Ph	H	Me	OHCNH	Me	H	
59	O	H	H	4-iPr-Ph	H	Me	OHCNH	Me	Br	
60	O	H	H	4-iPr-Ph	H	Me	OHCNH	Me	CHO	
61	O	H	H	4-iPr-Ph	H	Me	t-BuCH ₂ CONH	Me	(CH ₂) ₂ CO ₂ Et	
62	O	H	H	4-iPr-Ph	H	Me	t-BuCH ₂ CONH	Me	(CH ₂) ₂ OH	
63	O	H	H	4-iPr-Ph	H	Br	t-BuCH ₂ CONH	Me	Me	
64	O	H	H	4-iPr-Ph	H	Me	t-BuCH ₂ CONH	H	Me	
65	O	H	H	4-iPr-Ph	H	Me	Me	t-BuCH ₂ CONH	Me	
66	O	H	H	4-iPr-Ph	H	Me	Me	Me	t-BuCH ₂ CONH	
67	O	H	H	4-iPr-Ph	H	[OMe] Me	BzO(CH ₂) ₃ CONH	Me	Me	
68	O	H	H	4-iPr-Ph	H	Me	t-BuCH ₂ CONH		CH=CH-CH=CH	
69	O	H	H	4-iPr-Ph	H	Me	t-BuCH ₂ CONH		(CH ₂) ₄	
70	O	H	H	4-iPr-Ph	H	Me	t-BuCH ₂ CONH		(CH ₂) ₃	
71	O	H	H	4-iPr-Ph	H	Me	t-BuCH ₂ CONH	Me	H	-- S-form --
72	O	H	H	3-MeO-Ph	H	Me	t-BuCH ₂ CONH	Me	Me	[E-form]
73	O	H	H	3-(1,3-dioxolan-2-yl)-Ph	H	Me	t-BuCH ₂ CONH	Me	Me	
74	O	H	H	4-iPr-2-MeO-Ph	H	Me	t-BuCH ₂ CONH	Me	Me	
75	O	H	H	Ph	H	Me	t-BuCH ₂ CONH	Me	Me	
76	O	H	H	4-Me-Ph	H	Me	t-BuCH ₂ CONH	Me	Me	
77	O	H	H	biphenyl	H	Me	t-BuCH ₂ CONH	Me	Me	
78	O	H	H	5-Me-2-Py	H	Me	t-BuCH ₂ CONH	Me	Me	
79	O	H	H	4-Et-Ph	H	Me	t-BuCH ₂ CONH	Me	Me	
80	O	H	H	4-iBu-Ph	H	Me	t-BuCH ₂ CONH	Me	Me	
81	O	H	H	4-cHex-Ph	H	Me	t-BuCH ₂ CONH	Me	Me	
82	O	H	H	4-(1,3-dioxolan-2-yl)-Ph	H	Me	t-BuCH ₂ CONH	Me	Me	
83	O	H	H	4-iPr-Ph	H	Me	t-BuCH ₂ CONH	Me	CH=CH ₂	
84	O	H	H	4-iPr-Ph	H	Me	t-BuCH ₂ CONH	Me	CH(OH)CH ₂ OH	
85	O	H	H	4-iPr-Ph	H	Me	t-BuCH ₂ CONH	Me	(CH ₂) ₂ OH	
86	O	H	H	4-iPr-Ph	H	Me	t-BuCH ₂ CONH	Me	EtCO	
87	O	H	H	4-iPr-Ph	H	Me	t-BuCH ₂ CONH	Br	Me	
88	O	H	H	4-iPr-Ph	H	OMe	t-BuCH ₂ CONH	Me	Me	
89	O	H	H	4-iPr-Ph	H	Me	t-BuCH ₂ CONH	OMe	Me	
90	O	H	H	4-iPr-Ph	H	Me	t-BuCH ₂ CONH	Me	CH(OH)(CH ₂) ₂ CH ₃ -- less polar --	
91	O	H	H	4-iPr-Ph	H	Me	t-BuCH ₂ CONH	Me	CH(OH)(CH ₂) ₂ CH ₃ -- more polar --	
92	O	H	H	4-iPr-Ph	H	[OMe] Me	t-BuCH ₂ CONH	Me	nBu	{less polar}
93	O	H	H	4-iPr-Ph	H	OMe	HO(CH ₂) ₃ CONH	Me	Me	{more polar}
94	O	H	H	4-iPr-Ph	H	Me	t-BuCH ₂ CONH	Me	CH(OH)(4-iPr-Ph)	
95	O	H	H	4-iPr-Ph	H	Me	t-BuCH ₂ CONH	Me	CH ₂ Ph	
96	O	H	H	4-iPr-Ph	H	Me	t-BuCH ₂ CONH	Me	CH ₂ (4-iPr-Ph)	
97	O	H	H	4-iPr-Ph	H	Me	t-BuCH ₂ CONH	Me	COOH	
98	O	H	H	4-iPr-Ph	H	Me	t-BuCH ₂ CONH	Me	CN	
99	O	H	H	4-iPr-Ph	H	Me	t-BuCH ₂ CONH	Me	Ac	-- S-form --
100	O	H	H	4-iPr-Ph	H	Me	t-BuCH ₂ CONH	Me	Ph	
101	O	H	H	4-iPr-Ph	H	Me	t-BuCH ₂ CONH	Me	6-MeO-3-Py	[E-form]
102	O	H	H	4-iPr-Ph	H	Me	t-BuCH ₂ CONH	Me	4-MeO-Ph	
103	O	H	H	4-iPr-Ph	H	Me	t-BuCH ₂ CONH	Me	6-F-3-Py	
104	O	H	H	4-iPr-Ph	H	Me	t-BuCH ₂ CONH	Me		

Matsuyama

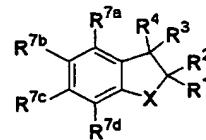
[Table 3]



Example	X	R ¹	R ²	R ³	R ⁴	R ^{7a}	R ^{7b}	R ^{7c}	R ^{7d}	comment
105	O	H	H	4-iPr-Ph	H	Me	t-BuCH ₂ CONH	Me	3-MeO-Ph	
106	O	H	H	4-iPr-Ph	H	Me	t-BuCH ₂ CONH	Ph	Me	
107	O	H	H	4-iPr-Ph	H	Me	t-BuCH ₂ CONH	Me	3-(AcNH)-Ph	
108	O	H	H	4-iPr-Ph	H	Me	t-BuCH ₂ CONH	Me	3-F-Ph	
109	O	H	H	4-iPr-Ph	H	Me	t-BuCH ₂ CONH	Me	3-NO ₂ -Ph	
110	O	H	H	4-iPr-Ph	H	Me	t-BuCH ₂ CONH	Me	3-(CO ₂ Me)-Ph	
111	O	H	H	4-iPr-Ph	H	Me	t-BuCH ₂ CONH	Me	3-AcPh	
112	O	H	H	4-iPr-Ph	H	Me	t-BuCH ₂ CONH	Me	3-(CO ₂ Et)-Ph	
113	O	H	H	4-iPr-Ph	H	Me	t-BuCH ₂ CONH	Me	4-Me-Ph	$\boxed{(R)-(+)\text{ form}}$
114	O	H	H	4-iPr-Ph	H	Me	t-BuCH ₂ CONH	Me	3-Py	
115	O	H	H	4-iPr-Ph	H	Me	t-BuCH ₂ CONH	Me	4-Py	
116	O	H	H	4-iPr-Ph	H	Me	t-BuCH ₂ CONH	Me	B(OH) ₂	
117	O	H	H	4-iPr-Ph	H	Me	t-BuCH ₂ CONH	Me	2-Py	
118	O	H	H	4-iPr-Ph	H	Me	t-BuCH ₂ CONH	Me	5-Me-2-Py	
119	O	H	H	4-iPr-Ph	H	Me	t-BuCH ₂ CONH	Me	6-NH ₂ -2-Py	
120	O	H	H	4-iPr-Ph	H	Me	t-BuCH ₂ CONH	Me	3-(Me ₂ N)-Ph	
121	O	H	H	4-iPr-Ph	H	Me	t-BuCH ₂ CONH	Me	6-(AcNH)-2-Py	
122	O	H	H	4-iPr-Ph	H	Me	t-BuCH ₂ CONH	Me	3-NH ₂ -Ph	
123	O	H	H	4-iPr-Ph	H	Me	t-BuCH ₂ CONH	Me	3-(EtCONH)-Ph	
124	O	H	H	4-iPr-Ph	H	Me	t-BuCH ₂ CONH	Me	5-pyrimidinyl	
125	O	H	H	4-iPr-Ph	H	Me	t-BuCH ₂ CONH	Me	2-thiazoaryl	
126	O	H	H	4-iPr-Ph	H	Me	t-BuCH ₂ CONH	Me	3-thienyl	
127	O	H	H	4-iPr-Ph	H	Me	t-BuCH ₂ CONH	Me	4-imidazolyl	
128	O	H	H	4-iPr-Ph	H	Me	t-BuCH ₂ CONH	Me	3-furyl	
129	O	H	H	4-iPr-Ph	H	Me	t-BuCH ₂ CONH	Me	2-pyrrolyl	
130	O	H	H	4-iPr-Ph	H	Me	t-BuCH ₂ CONH	Me	2-thienyl	
131	O	H	H	4-iPr-Ph	H	Me	t-BuCH ₂ CONH	Me	5-Ac-2-thienyl	
132	O	H	H	4-iPr-Ph	H	Me	t-BuCH ₂ CONH	Me	5-Ac-3-thienyl	
133	O	H	H	4-iPr-Ph	H	Me	t-BuCH ₂ CONH	Me	4-Me-2-thiazolyl	
134	O	H	H	4-iPr-Ph	H	Me	t-BuCH ₂ CONH	Me	OH ~ (R)-(+)-form	
135	O	H	H	4-iPr-Ph	H	Me	t-BuCH ₂ CONH	Me	OH	
136	O	H	H	4-iPr-Ph	H	Me	t-BuCH ₂ CONH	Me	EtO	
137	O	H	H	4-iPr-Ph	H	Me	t-BuOCONH	Me	Me	
138	O	H	H	4-iPr-Ph	H	Me	Cl ₃ CCH ₂ OCONH	Me	Me	
139	O	H	H	4-iPr-Ph	H	Me	Cl ₃ CCH ₂ OCONH	Me	Et	
140	O	H	H	4-iPr-Ph	H	Me	Cl ₃ CCH ₂ OCONH	Me	OMe	
141	O	H	H	4-iPr-Ph	H	Me	Cl ₃ CCH ₂ OCONH	Me	(CH ₂) ₃ OH	
142	O	H	H	4-iPr-Ph	H	Me	Cl ₃ CCH ₂ OCONH	Me	Ph	
143	O	H	H	4-iPr-Ph	H	Me	C ₆ H ₅ NCONH	Me	Me	$\boxed{\text{less polar}}$
144	O	H	H	4-iPr-Ph	H	Me	Et ₂ NCONH	Me	Me	$\boxed{\text{more polar}}$
145	O	H	H	4-iPr-Ph	H	Me	HO(CH ₂) ₂ NHCONH	Me	Me	
146	O	H	H	4-iPr-Ph	H	Me	MeO(CH ₂) ₂ NHCONH	Me	Me	
147	O	H	H	4-iPr-Ph	H	Me	Me ₂ N(CH ₂) ₂ NHCONH	Me	Me	
148	O	H	H	4-iPr-Ph	H	Me	HO(CH ₂) ₂ NHCONH	Me	$\boxed{\text{Me}}$ $\mathcal{E}+$	
149	O	H	H	4-iPr-Ph	H	Me	HO(CH ₂) ₂ NHCONH	Me	OMe	
150	O	H	H	4-iPr-Ph	H	Me	HO(CH ₂) ₂ NHCONH	Me	(CH ₂) ₃ OH	
151	O	H	H	4-iPr-Ph	H	Me	nPrNHCONH	Me	Me	
152	O	H	H	4-iPr-Ph	H	Me	HO(CH ₂) ₂ NHCONH	Me	Ph	
153	O	H	H	4-iPr-Ph	H	Me	HO(CH ₂) ₂ NHCONH	Me	Ph	
154	O	H	H	4-iPr-Ph	H	Me	HO(CH ₂) ₂ NHCONH	Me	Me	
155	O	H	H	4-iPr-Ph	H	Me	HO(CH ₂) ₂ NHCONH	Me	Me	
156	O	H	H	4-iPr-Ph	H	Me	HOCH ₂ C(Me) ₂ NHCONH	Me	Me	

MARK UP

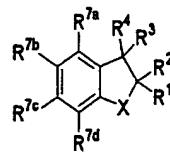
[Table 4]



Example	X	R ¹	R ²	R ³	R ⁴	R ^{7a}	R ^{7b}	R ^{7c}	R ^{7d}	Note
157	O	H	H	4-i-Pr-Ph	H	Me	HOCH ₂ C(Me) ₂ NHCONH	Me	Ph	
158	O	H	H	4-i-Pr-Ph	H	Me	HOCH ₂ C(Me) ₂ CH ₂ NHCONH	Me	Me	
159	O	H	H	4-i-Pr-Ph	H	Me	HOCH ₂ C(Me) ₂ CH ₂ NHCONH	Me	Ph	
160	O	H	H	4-i-Pr-Ph	H	Me	HOCH(Me)CH ₂ NHCONH	Me	Ph	
161	S	H	H	4-i-Pr-Ph	H	Me	t-BuCH ₂ CONH	Me	H	
162	S	H	H	4-i-Pr-Ph	H	Me	t-BuCH ₂ CONH	Me	Br	
163	S	H	H	4-i-Pr-Ph	H	Me	t-BuCH ₂ CONH	Me	CHO	
164	S	H	H	4-i-Pr-Ph	H	Me	t-BuCH ₂ CONH	Me	Et	
165	S	H	H	4-i-Pr-Ph	H	Me	t-BuCH ₂ CONH	Me	nPr	
166	S	H	H	4-i-Pr-Ph	H	Me	t-BuCH ₂ CONH	Me	Ac	
167	S(O)	H	H	4-i-Pr-Ph	H	Me	t-BuCH ₂ CONH	Me	Et	
168	S(O)	H	H	4-i-Pr-Ph	H	Me	t-BuCH ₂ CONH	Me	Ac--/less polar--	
169	S(O)	H	H	4-i-Pr-Ph	H	Me	t-BuCH ₂ CONH	Me	Ac--more polar--	
170	SO ₂	H	H	4-i-Pr-Ph	H	Me	t-BuCH ₂ CONH	Me	Br	
171	SO ₂	H	H	4-i-Pr-Ph	H	Me	t-BuCH ₂ CONH	Me	Ac	
172	SO ₂	H	H	4-i-Pr-Ph	H	Me	t-BuCH ₂ CONH	Me	Et	
173	O	H	H	[4-i-Pr-Ph] 3-CHO-Ph	H	Me	t-BuCH ₂ CONH	Me	Me	
174	O	H	H	[4-i-Pr-Ph] 4-CHO-Ph	H	Me	t-BuCH ₂ CONH	Me	Me	
175	O	H	H	4-MeCH(OH)-Ph	H	Me	t-BuCH ₂ CONH	Me	Me	
176	O	H	H	4-AcPh	H	Me	t-BuCH ₂ CONH	Me	Me	
177	O	H	H	3-EtOC(=O)CH=CHPh	H	Me	t-BuCH ₂ CONH	Me	Me	
178	O	H	H	4-EtOC(=O)CH=CHPh	H	Me	t-BuCH ₂ CONH	Me	Me	
179	O	H	H	4-EtOC(=O)CH=C(Me)Ph	H	Me	t-BuCH ₂ CONH	Me	Me	
180	O	H	H	3-EtOC(=O)(CH ₂) ₂ Ph	H	Me	t-BuCH ₂ CONH	Me	Me	
181	O	H	H	4-EtOC(=O)(CH ₂) ₂ Ph	H	Me	t-BuCH ₂ CONH	Me	Me	
182	O	H	H	4-EtOC(=O)CH ₂ CH(Me)Ph	H	Me	t-BuCH ₂ CONH	Me	Me	
183	O	H	H	2,4-Ac-3-MeOPh	H	Me	t-BuCH ₂ CONH	Me	Me	
184	O	H	H	4-(HC=C(Me))-3-MeOPh	H	Me	t-BuCH ₂ CONH	Me	Me	
185	O	H	H	4-i-Pr-3-MeOPh	H	Me	t-BuCH ₂ CONH	Me	Me	
186	O	H	H	4-i-Pr-3-(HO)Ph	H	Me	t-BuCH ₂ CONH	Me	Me	
187	O	H	H	4-i-Pr-2-(HO)Ph	H	Me	t-BuCH ₂ CONH	Me	Me	
188	O	H	H	4-i-Pr-3-(EtOC(O)CH ₂ O)Ph	H	Me	t-BuCH ₂ CONH	Me	Me	
189	O	H	H	4-i-Pr-3-(MeC(O)CH ₂ O)Ph	H	Me	t-BuCH ₂ CONH	Me	Me	
190	O	H	H	4-i-Pr-2-(EtOC(O)CH ₂ O)Ph	H	Me	t-BuCH ₂ CONH	Me	Me	
191	O	H	H	4-i-Pr-3-(MeO(CH ₂) ₂ O)Ph	H	Me	t-BuCH ₂ CONH	Me	Me	
192	O	H	H	4-i-Pr-2-(MeO(CH ₂) ₂ O)Ph	H	Me	t-BuCH ₂ CONH	Me	Me	
193	O	H	H	4-i-Pr-3-(HO(CH ₂) ₂ O)Ph	H	Me	t-BuCH ₂ CONH	Me	Me	
194	O	H	H	3-HO(CH ₂) ₂ Ph	H	Me	t-BuCH ₂ CONH	Me	Me	
195	O	H	H	4-HO(CH ₂) ₂ Ph	H	Me	t-BuCH ₂ CONH	Me	Me	
196	O	H	H	4-HO(CH ₂) ₂ CH(Me)Ph	H	Me	t-BuCH ₂ CONH	Me	Me	
197	O	H	H	4-i-Pr-2-(HO(CH ₂) ₂ O)Ph	H	Me	t-BuCH ₂ CONH	Me	Me	
198	O	H	H	4-HOC(=O)CH ₂ CH(Me)Ph	H	Me	t-BuCH ₂ CONH	Me	Me	
199	O	H	H	4-Me ₂ C(OH)Ph	H	Me	t-BuCH ₂ CONH	Me	Me	
200	O	H	H	4-i-Pr-Ph	H	Me	CF ₃ (CH ₂) ₂ CONH	Me	Me	
201	O	H	H	4-i-Pr-Ph	H	Me	Me ₂ NCH ₂ CONH	Me	Me	
202	O	H	H	4-i-Pr-Ph	H	Me	t-BuCONH	Me	Me	
203	O	H	H	4-i-Pr-Ph	H	Me	NHCHO	Me	Ac	
204	O	H	H	4-i-Pr-Ph	H	Me	t-BuNHCONH	Me	Ac	
205	O	H	H	4-i-Pr-Ph	H	Me	(c-Hex)NHCONH	Me	Me	
206	O	H	H	4-i-Pr-Ph	H	Me	Cl ₃ CCH ₂ OCONH	Me	Ac	
207	O	H	H	4-i-Pr-Ph	H	Me	HO(CH ₂) ₂ NHCONH	Me	Ac	
208	O	H	H	4-i-Pr-Ph	H	Me	t-BuNHCONH	Me	CH(OH)Me -- more polar --	

Mark JP

[Table 6]



Example	X	R ¹	R ²	R ³	R ⁴	R ^{7a}	R ^{7b}	R ^{7c}	R ^{7d}	Note
261	O	Me	Me	4-Me-Ph	OH	Me	t-BuOCONH	Me	Me	
262	O	Me	Me	4-iPr-Ph	OH	Me	t-BuOCONH	Me	Me	
263	O	Me	Me	2-naph	OH	Me	t-BuOCONH	Me	Me	
264	O	Me	Me	3-CHO-Ph	OH	H	t-BuCH ₂ CONH	Me	Me	
265	O	Me	Me	3-(CH ₂ OH)-Ph	OH	H	t-BuCH ₂ CONH	Me	Me	
266	O	Me	Me	3-(CH(Me)OH)-Ph	OH	H	t-BuCH ₂ CONH	Me	Me	
267	O	Me	Me	4-Me-Ph	OH	Me	t-BuCH ₂ CONH	Me	Me	
268	O	Me	Me	2-naph	OH	Me	t-BuCH ₂ CONH	Me	[H]-Me	
269	O	Me	Me	2-naph	OH	Me	t-BuCH ₂ CONH	Me	Me	
270	O	Me	Me	2-naph	OH	Me	t-BuNHCONH	Me	Me	
271	O	Me	Me	2-Me-Ph	H	H	t-BuCH ₂ CONH	Me	Me	
272	O	Me	Me	3-Me-Ph	H	H	t-BuCH ₂ CONH	Me	Me	
273	O	Me	Me	3-iPr-Ph	H	H	t-BuCH ₂ CONH	Me	Me	
274	O	Me	Me	Ph	H	H	t-BuCH ₂ CONH	Me	Me	
275	O	Me	Me	2-naph	H	H	t-BuCH ₂ CONH	Me	Me	
276	O	Me	Me	2-MeO-Ph	H	H	t-BuCH ₂ CONH	Me	Me	
277	O	Me	Me	Bz	H	H	t-BuCH ₂ CONH	Me	Me	
278	O	Me	Me	4-iPr-Bz	H	H	t-BuCH ₂ CONH	Me	Me	
279	O	Me	Me	2-thienyl	H	H	t-BuCH ₂ CONH	Me	Me	
280	O	Me	Me	2-CF ₃ O-Ph	H	H	t-BuCH ₂ CONH	Me	Me	
281	O	Me	Me	n-Bu	H	H	t-BuCH ₂ CONH	Me	Me	
282	O	Me	Me	2-furyl	H	H	t-BuCH ₂ CONH	Me	Me	
283	O	Me	Me	(CH ₂) ₂ Ph	H	H	t-BuCH ₂ CONH	Me	Me	
284	O	Me	Me	4-Br-Ph	H	H	t-BuCH ₂ CONH	Me	Me	
285	O	Me	Me	4-MeO-Ph	H	H	t-BuCH ₂ CONH	Me	Me	
286	O	Me	Me	2,4-MeO-Ph	H	H	t-BuCH ₂ CONH	Me	Me	
287	O	Me	Me	c-Hex	H	Me	t-BuCH ₂ CONH	Me	Me	
288	O	Me	Me	2-Py	H	Me	t-BuCH ₂ CONH	Me	Me	
289	O	Me	Me	4-MeO-Ph	H	Me	t-BuCH ₂ CONH	Me	Me	
290	O	Me	Me	3-MeO-Ph	H	Me	t-BuCH ₂ CONH	Me	Me	
291	O	Me	Me	4-iPr-Ph	H	Me	Me	Me	t-BuCH ₂ CONH	
292	O	Me	Me	4-CHO-Ph	H	H	t-BuCH ₂ CONH	Me	Me	
293	O	Me	Me	4-Ac-Ph	H	H	t-BuCH ₂ CONH	Me	Me	
294	O	Me	Me	Δ,β-(CH ₂ OH)-Ph	H	H	t-BuCH ₂ CONH	Me	Me	
295	O	Me	Me	Δ,β-(CH(Me)OH)-Ph	H	H	t-BuCH ₂ CONH	Me	Me	
296	O	Me	Me	2-iPr-Ph	H	H	t-BuCH ₂ CONH	Me	Me	
297	O	Me	Me	1-piperidyl	H	Me	t-BuCH ₂ CONH	Me	Me	
298	O	Me	Me	1-pyrrolidinyl	H	Me	t-BuCH ₂ CONH	Me	Me	
299	O	Me	Me	NHPh	H	H	t-BuCH ₂ CONH	Me	Me	
300	O	Me	Me	NH-(2-MeO-Ph)	H	H	t-BuCH ₂ CONH	Me	Me	
301	O	Me	Me	NH-(2-CF ₃ O-Ph)	H	H	t-BuCH ₂ CONH	Me	Me	
302	O	Me	Me	1-pyrrolidinyl	H	Me	t-BuOCONH	Me	Br	
303	O	Me	Me	Me ₂ N	H	Me	t-BuOCONH	Me	Br	
304	O	Me	Me	4-iPr-Ph	H	Me	t-BuOCONH	Me	Me	
305	O	Me	Me	4-Me-Ph	H	Me	t-BuOCONH	Me	Me	
306	O	Me	Me	H	H	Me	t-BuCH ₂ CONH	Me	4-iPr-Bz	
307	O	Me	Me	1-pyrrolidinyl	H	Me	t-BuCH ₂ CONH	Me	4-iPr-Bz	
308	O	Me	Me	Me ₂ N	H	Me	t-BuCH ₂ CONH	Me	4-iPr-Bz	
309	O	Me	Me	4-Me-Ph	H	H	t-BuCH ₂ CONH	Me	Me	
310	O	Me	Me	4-Me-Ph	H	Me	n-PrCONH	Me	Me	
311	O	Me	Me	4-Me-Ph	H	Me	n-BuCONH	Me	Me	
312	O	Me	Me	4-Me-Ph	H	Me	n-PenCONH	Me	Me	

MARK VP

[Table 7]

Example	X	R ¹	R ²	R ³	R ⁴	R ^{7a}	R ^{7b}	R ^{7c}	R ^{7d}	Note	
313	O	Me	Me	4-F-Ph	H	Me	t-BuCH ₂ CONH	Me	Me		
314	O	Me	Me	Ph	H	Me	t-BuCH ₂ CONH	Me	Me		
315	O	Me	Me	4-Br-Ph	H	Me	t-BuCH ₂ CONH	Me	Me		
316	O	Me	Me	4-i-Pr-Ph	H	Me	t-BuCH ₂ CONH	Me	Me		
317	O	Me	Me	4-i-Pr-Ph	H	H	t-BuCH ₂ CONH	Me	Me		
318	O	Me	Me	4-i-Pr-Ph	H	Me	t-BuCH ₂ CONH	H	Me		
319	O	Me	Me	4-i-Pr-Ph	H	Me	t-BuCH ₂ CONH	Me	H		
320	O	Me	Me	4-i-Pr-Ph	H	H	t-BuCH ₂ CONH	H	H		
321	O	Me	Me	4-i-Pr-Ph	H	H	n-PrCONH	H	H		
322	O	Me	Me	4-i-Pr-Ph	H	Me	n-PrCONH	Me	Me		
323	O	Me	Me	4-i-Pr-Ph	H	Me	n-BuCONH	Me	Me		
324	O	Me	Me	4-i-Pr-Ph	H	Me	t-BuCH ₂ CONH	Me	Me		
325	O	Me	Me	4-i-Pr-Ph	H	Me		Me	t-BuCH ₂ CONH		
326	O	Me	Me		Bz	H	Me	Me	t-BuCH ₂ CONH	[H]-Me	
327	O	Me	Me	4-i-Pr-Ph	H	H		Me	H	t-BuCH ₂ CONH	
328	O	Me	Me	4-i-Pr-Ph	H	Me		MeO	Me	t-BuCH ₂ CONH	
329	O	Me	Me	4-i-Pr-Ph	H	H	t-BuCH ₂ CON(Me)	H	H		
330	O	Me	Me	4-i-Pr-Ph	H	Me	(4-morpholinyl)(CH ₂) ₂ CONH	Me	Me		
331	O	Me	H		H	Me	t-BuOCONH	Me	H		
332	O	Me	H		H	Me	t-BuOCONH	Me	Br		
333	O	Me	H		H	Me	t-BuOCONH	Me	4-i-Pr-Ph-CH(OH)		
334	O	Me	H		H	Me	t-BuCH ₂ CONH	Me	4-i-Pr-Bz		
335	O	Me	CH ₂ OH		H	H	Me	t-BuCH ₂ CONH	Me	4-i-Pr-Bz	
336	O	Me	CH ₂ I		H	H	Me	t-BuCH ₂ CONH	Me	4-i-Pr-Bz	
337	O	Me	CH ₂ (1-pyrrolidinyl)		H	H	Me	t-BuCH ₂ CONH	Me	4-i-Pr-Bz	
338	O	Me	Me		OH	H	Me	t-BuCH ₂ CONH	Me	H	
339	O	Me	Me		H	H	Me	t-BuCH ₂ CONH	Me	H	
340	O	Me	Me		H	H	Me	t-BuCH ₂ CONH	Me	CHO	
341	O	Me	Me		H	H	Me	t-BuCH ₂ CONH	Me	4-i-Pr-Ph-CH(OH)	
342	O	Me	Me		H	H	Me	t-BuCH ₂ CONH	Me	4-i-Pr-Ph-CO	
343	O	Me	Me		H	H	Me	t-BuCH ₂ CONH	Me	Br	
344	O	Me	Me		H	H	Me	t-BuCH ₂ CONH	Me	4-i-Pr-Ph-O	
345	O	Me	Me		H	H	Me	t-BuCH ₂ CONH	Me	4-Me-Ph-O	
346	O	Me	Me		OH	H	Me	t-BuCH ₂ CONH	Me	4-i-Pr-Bz	
347	O	Me	Me		OH	Me	Me	t-BuCH ₂ CONH	Me	4-i-Pr-Bz	
348	O		(CH ₂) ₄	4-i-Pr-Ph	H	Me	t-BuCH ₂ CONH	Me	Me		
349	O	Me	H	4-i-Pr-Ph	H	H	t-BuCH ₂ CONH	Me	Me	cis-form	
350	O	Me	H	4-i-Pr-Ph	H	Me	t-BuCH ₂ CONH	Me	Me	cis-form	
351	O	Me	H	4-i-Pr-Ph	H	Me	t-BuCH ₂ CONH	Me	Me	trans-form	
352	O	Me	Me		H	H	Me	t-BuCH ₂ CONH	Me	(2-Py)CH(OH)	
353	O	Me	Me		H	H	Me	t-BuCH ₂ CONH	Me	4-i-Pr-Ph-CH ₂ CH(OH)	
354	O	Me	Me		H	H	Me	t-BuCH ₂ CONH	Me	4-i-Pr-Ph-(CH ₂) ₂	
355	O	Me	Me		H	H	Me	t-BuCH ₂ CONH	Me	PHCH(OH)	
356	O	Me	Me		H	H	Me	t-BuCH ₂ CONH	Me	Bz	
357	O	Me	Me		H	H	Me	t-BuCH ₂ CONH	Me	2-Me-Bz	
358	O	[Me]	H	[Me]	H	[H]	H	Me	t-BuCH ₂ CONH	Me	2-furyl
359	O	[Me]	H	[Me]	H	[H]	H	Me	t-BuCH ₂ CONH	Me	benzoyl
										R-form	

4-i-Pr-Ph
4-i-Pr-Ph